ABSTRACT OF THE DISCLOSURE

A method for increasing the target-specific toxicity of a drug is effected by pretargeting an enzyme to a mammalian target site, and then administering a cytotoxic drug known to act at the target site, or a prodrug form thereof which is converted to the drug *in situ*, which drug is also detoxified to form an intermediate of lower toxicity using said mammal's ordinary metabolic processes, whereby the detoxified intermediate is reconverted to its more toxic form by the pretargeted enzyme and thus has enhanced cytotoxicity at the target site. Further enhancement can be achieved by pretargeting an enzyme which converts the prodrug to the cytotoxic drug at the target site. Kits for use with the method also are provided. The method and kits permit lower doses of cytotoxic agents, maximize target site activity and minimize systemic side effects.